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(FILE 'HOME' ENTERED AT 13:41:07 ON 31 JAN 2007)

FILE 'USPATFULL' ENTERED AT 13:41:29 ON 31 JAN 2007

L1 69647 S (PERMEATION OR PENETRATION OR ABSORP?) (3A) (ENHANC? OR IMPR
L2 258390 S LIPOPHILIC SOLVENT? OR SURFACTANT OR (OLEIC ACID) OR (OCTYL D
L3 246493 S (DIMETHYL SULFOXIDE) OR (DIMETHYL FORMAMIDE) OR (ISOPROPYL MY
L4 424482 S L1-L3
L5 309402 S SPRAY OR PROPELLANT
L6 325300 S (FILM FORM?) OR (ACRYLIC POLYMER?) OR (POLYVINYL ACETATE) OR
L7 21551 S L5 AND L6 AND L4 AND PHARMACEUTICAL
L8 311 S L5/CLM AND L6/CLM AND L4/CLM AND PHARMACEUTICAL
L9 276 S L8 AND (SOLVENT? OR SOLUBILIZER OR (SOLUBILIZING AGENT))
L10 255 S L9 AND ((PLASTICIZER) OR (TRIETHYL CITRATE) OR (DIMETHYL ISOS
L11 194 S (MEDICAMENT OR DRUG) AND L10
SAVE ALL TEMP L10686517/L
L12 172 S L11 AND SPRAY
L13 146 S L12 AND SPRAY/CLM
L14 77 S L13 NOT (" SPRAY DRIED")
SAVE ALL TEMP L10686517/L
L15 343817 S L5 OR AEROSOL
L16 75788 S L4/CLM
L17 57551 S L15/CLM
L18 69042 S L6/CLM
L19 311966 S ((PLASTICIZER) OR (TRIETHYL CITRATE) OR (DIMETHYL ISOSORBIDE
L20 44939 S L19/CLM
L21 161185 S SOLUBILIZER OR ((METHACRYLATE OR ACRYLATE OR METHACRYL? OR AC
L22 20211 S (VITAMIN E) OR ("VIT E")
L23 0 S TOCOPHEROL? (5W) SAUCCINATE
L24 1578 S (TOCOPHER? (5W) SUCCIN?) OR (TPGS)
L25 20697 S L22 OR L24
L26 331499 S LABRASOL OR POLYHYDRIC ALCOHOL? OR SURFACTANT? OR L25 OR L21
L27 82671 S L26/CLM
L28 354 S L16 AND L17 AND L18 AND L20 AND L27
L29 231 S (MEDICAMENT OR DRUG OR PHARMACEUT?) AND L28
L30 153 S L29 NOT ((SPRAY DRIED) OR (SPRAY COAT?))
L31 33141 S L15/AB
L32 22 S L31 AND L30

=> save all temp

ENTER NAME OR (END):L10686517/L

'L10686517/L' IN USE

A single name cannot be used for two saved items at the same time.

Enter "Y" if you wish to replace the current saved name with a new definition. Enter "N" if the current saved definition must be preserved. You may then reenter the SAVE command with a different saved name. Enter "DISPLAY SAVED" at an arrow prompt (=>) to see a list of your currently defined saved names.

REPLACE OLD DEFINITION? Y/(N):y

L# LIST L1-L32 HAS BEEN SAVED AS 'L10686517/L'

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L32 ANSWER 22 OF 22 USPATFULL on STN

AB . . . an amount effective for alteration of cervical mucus secretions in a manner unfavorable to fertility without systemic absorption and a pharmaceutically acceptable vehicle. A typical composition is a foamable aqueous emulsion containing an anionic surfactant, propylene glycol and an amount of . . . micrograms to about 5 milligrams. The composition may be foamed by dispensing with a syringe or by dispensing from an aerosol container.

SUMM The science of fertility inhibition and control has advanced rapidly in recent years such that the clinical efficacy of certain pharmaceutical products has become well established. In addition to orally administered products, containing one or more hormonal substances such as progestational.

SUMM . . . object is to provide a new and improved fertility control composition and method based in part on known and Government-approved pharmaceutical preparations, thereby substantially reducing the need for clinical trials with the attendant expense and delay.

SUMM . . . an amount effective for alteration of cervical mucus secretions in a manner unfavorable to fertility without systemic absorption and a pharmaceutically acceptable vehicle therefore.

SUMM The essential pharmaceutically active ingredient of the composition of the invention is a progestational compound. A great variety of such compounds are known.

SUMM The vehicle for effective contact between the progestational compound and the cervical tissues is a pharmaceutically acceptable carrier.

SUMM Accordingly, any non-rigid pharmaceutically acceptable carrier may be employed which will provide the direct, topical contact of the active progestational ingredient with the cervical.

SUMM . . . tissues. Still further, when a foam base, meaning a liquid composition which will foam when agitated, is utilized as the pharmaceutically effective carrier, it can be formulated so that the foam will collapse after a predetermined duration and so that non-pharmaceutical components can be absorbed by the system without harmful side effects.

SUMM The foam base or other carrier and total composition must be pharmaceutically acceptable, that is, all ingredients must be selected so that in admixture and in the required amounts, they will be.

SUMM While the essential ingredients of the compositions of the invention are the progestational compound and pharmaceutically acceptable vehicle preferably a foam base which contains a foaming agent, the compositions may be formulated with other pharmaceutically acceptable ingredients. For example, if the progestational compound is water insoluble, such as natural or synthetic progesterone, it will usually.

SUMM . . . the actuation of the pressure relief valve then providing the required agitation for foaming of the mixture. Any of the pharmaceutically acceptable or food-type propellants may be utilized for such purposes, such as trichlorofluoromethane, dichlorodifluoromethane, 1,2-dichloro-1,1,2,2-tetrafluoroethane and the like. Preferred propellants.

CLM What is claimed is:

. . . and thereby without generating side effects resulting from increased blood levels in the user of said progestational compound, and a pharmaceutically acceptable vehicle.

. . . and thereby without generating side effects resulting from increased blood levels in the user of said progestational compound, and a pharmaceutically acceptable foam base vehicle.

. . . by weight of a thickening agent comprising an aliphatic, straight chain monohydroxy alcohol containing 14-22 carbon atoms and an anionic

Solub. @ Pen Enhancer
surfactant as a foaming agent in an amount of about 5-20% by weight.

Pen-Enhancer
triethanolamine lauryl sulfate as a foaming agent and said progestational compound is progesterone, said composition further containing about 10-50% of propylene glycol or ethylene glycol, about 1-5% by weight of coconut-diethanolamine condensate, about 1-5% by weight of cetyl alcohol, about 0.1-2% by weight of hydroxyethyl cellulose of hydroxypropyl cellulose, and about 20-50% by weight of water. *Plasticizer*

method as in claim 2 wherein said composition is an aqueous emulsion, said composition containing as a foaming agent a surfactant selected from triethanolamine lauryl sulfate, sorbitan monolaurate, N-(lauroyl colamino formyl methyl) pyridinium chloride, a carboxylic acid derivative of an imidazolinium compound, and sodium lauryl ether sulfate, the amount of said surfactant being about 5-20% and wherein the progestational compound is progesterone, said composition further containing about 10-50% by weight of ethylene glycol, propylene glycol, a polyalkylene glycol or glycerol, about 1-5% by weight of coconut-diethanolamine condensate or oleic acid-diethanolamine condensate, about 1-5% by weight of cetyl alcohol, myristyl alcohol or stearyl alcohol, about 0.2-2% by weight of hydroxyethyl cellulose, hydroxypropyl cellulose, carboxymethyl cellulose or methyl cellulose, and about 20-50% by weight of water. *Alm former*

11. A method as in claim 2 wherein said composition includes an aerosol propellant.

13. In a method of inhibiting fertility of a female human by creation of a contraceptive cervical sperm barrier, the . . . and thereby without generating side effects resulting from increased blood levels in the user of said progestational compound, and a pharmaceutically acceptable vehicle.

by weight of a thickening agent comprising an aliphatic, straight chain monohydroxy alcohol containing 14-22 carbon atoms, and an anionic surfactant as a foaming agent in an amount of about 5-20% by weight.

triethanolamine lauryl sulfate as a foaming agent and said progestational compound is progesterone, said composition further containing about 10-50% of propylene glycol or ethylene glycol, about 1-5% by weight of coconut-diethanolamine condensate, about 1-5% by weight of cetyl alcohol, about 0.1-2% by weight of hydroxyethyl cellulose or hydroxypropyl cellulose, and about 20-50% by weight of water.

method as in claim 15 wherein said composition is an aqueous emulsion, said composition containing as a foaming agent a surfactant selected from triethanolamine lauryl sulfate, sorbitan monolaurate, N-(lauroyl colamino formyl methyl) pyridinium chloride, a carboxylic acid derivative of an imidazolinium compound, and sodium lauryl ether sulfate, the amount of said surfactant being about 5-20% and wherein the progestational compound is progesterone, said composition further containing about 10-50% by weight of ethylene glycol, propylene glycol, a polyalkylene glycol or glycerol, about 1-5% by weight of coconut-diethanolamine condensate or oleic acid-diethanolamine condensate, about 1-5% by weight of cetyl alcohol, myristyl alcohol or stearyl alcohol, about 0.1-2% by weight of hydroxyethyl cellulose, hydroxypropyl cellulose, carboxymethyl cellulose, or methyl cellulose, and about

20-50% by weight of water.

23. A method as in claim 15 wherein said composition includes an aerosol propellant.

ACCESSION NUMBER: 81:10777 USPATFULL
TITLE: Anti-fertility composition and method
INVENTOR(S): Sherman, Kenneth N., Wilton, CT, United States
Jacobson, Arnold, Orinda, CA, United States
PATENT ASSIGNEE(S): Cambridge Research and Development Group, Westport, CT,
United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4252787		19810224
APPLICATION INFO.:	US 1976-754737		19761227 (5)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1975-642797, filed on 22 Dec 1975, now abandoned which is a continuation of Ser. No. US 1974-430645, filed on 4 Jan 1974, now abandoned which is a continuation-in-part of Ser. No. US 1972-225591, filed on 11 Feb 1972, now abandoned		
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Rose, Shep K.		
LEGAL REPRESENTATIVE:	Sherman & Shalloway		
NUMBER OF CLAIMS:	24		
EXEMPLARY CLAIM:	1		
LINE COUNT:	973		
CAS INDEXING IS AVAILABLE FOR THIS PATENT.			

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AB A process is provided for preparing propellant compositions including a film-forming synthetic polymer that are capable of forming foamed structures containing open and/or closed cells, which may. . . structure is formed, which comprises coating the synthetic polymer in particulate form with an inert solid material insoluble in the propellant and in solutions of the synthetic resin in the propellant at atmospheric temperature; and then adding the propellant and dissolving the synthetic polymer in the propellant. The process is of particular application for preparing such synthetic polymer-propellant compositions in situ in closed containers capable of withstanding an internal pressure sufficient to keep the propellant in the liquid phase at atmospheric temperature, and when the composition is withdrawn from the container to atmospheric pressure, the propellant volatilizes rapidly and a foamed structure is formed within a few seconds.

SUMM . . . hair colorings and dye removers, wave sets, lacquers, rinses and conditioners, and dry shampoos. They are also useful applicators for medicaments of all types, antimicrobial agents, such as bactericides and antifungal agents of all types, and antibiotics, for external application, such. . .

SUMM Exemplary medicaments that can be combined in the propellant compositions of the invention include the antihistamines; sulfa drugs, for example, sulfadiazine, sulfabenzamide, sulfacetamide, sulfanilamide, sulfapyridine, sulfathiazole, sulfapyrazine, sulfaguanidine, sulfaphthalidine, sulfasuxidine, sulfaoxazole, sulfamylon, phthalylsulfacetamide, N'-3,4-dimethylbenzoylsulfanilamide, benzylsulfanilamide and N'-2-(2-quinoxalyl) sulfanilamide;. . .

SUMM These medicaments can be compounded in the forms of solutions and elixirs with suitable solvents and dispersants, such as are conventionally used in such formulations. Aqueous and alcoholic solutions usually are used. The amount of medicament is not critical and is chosen to meet the need; usually, from 0.02 to about 15% is adequate.

SUMM . . . so as to form a covering or a coating. When applied to the body, for example, a coating including a medicament for release to the skin can be formed, which can be allowed to remain in contact with the skin for long periods, for slow release of the medicament over a long period of time. However, because the compositions are so rapidly converted into a foamed structure, they are.

CLM What is claimed is:

1. A process for preparing propellant compositions including a film-forming synthetic polymer that are capable of forming foamed structures containing open and/or closed cells which comprises coating the synthetic polymer in particulate form with an inert solid material insoluble in the propellant and in solutions of the synthetic resin in the propellant at atmospheric temperature; and then adding the propellant and dissolving the synthetic polymer in the propellant, thereby forming a synthetic polymer-propellant composition in situ.

. . . which the process is carried out in a closed container capable of withstanding an internal pressure sufficient to keep the propellant in the liquid phase at atmospheric temperature, and when the composition is withdrawn from the container to atmospheric pressure, the propellant volatilizes rapidly and a foamed structure is formed within a few seconds.

3. A process according to claim 1 in which the synthetic polymer-propellant composition includes an additive which is deposited in the pores and/or walls of the foamed structure as the foamed structure. . .

. . . the additive is in liquid form as a solution in a separate liquid phase that is itself dispersed in the propellant phase of the composition.

. . . 7. A process according to claim 3, in which the additive is in liquid form as a solution with the propellant.

. . . 8. A process in accordance with claim 3 in which the additive is in liquid form as dispersed in the propellant.

. . . 3, in which the additive is in liquid form as a separate liquid phase that is itself dispersed in the propellant phase of the composition.

. . . process in accordance with claim 9, in which the additive is an aqueous solution that is itself dispersed in the propellant phase of the composition.

. . . the additive is in liquid form as a dispersion in a separate liquid phase that is itself dispersed in the propellant phase of the composition.

. . . process according to claim 3 in which an organic liquid solvent for the polymer is present in solution in the propellant phase.

15. A process according to claim 3 in which the propellant is a hydrocarbon propellant.

16. A process according to claim 3 wherein the liquid propellant is inert to the synthetic polymer; wherein the ~~additive is in liquid or solid form~~ at atmospheric temperature and pressure in an amount in excess of any solubility of the additive in the polymer in the absence of the propellant, the additive being substantially inert to the synthetic polymer and to the propellant, the foamed structure being in a shaped form for immediate use as a pad from which the additive can be.

17. A process according to claim 3 in which the composition upon rapid volatilization of the propellant at atmospheric temperature and pressure forms a foamed polymeric structure which immediately is nonsticky, coherent, voluminous and self-supporting, which contains.

. . . process according to claim 18 in which the polymer is dissolved in a liquid comprising, in addition to the liquid propellant, a solvent which has a boiling point above 45° F., said solvent being present in an amount not exceeding three times the weight of polymer and not exceeding the weight of propellant.

20. A process according to claim 18 in which the polymer is polyisobutyl methacrylate.

22. A process according to claim 21 in which the vinyl polymer is a methacrylate polymer or copolymer.

23. A process according to claim 21 in which the vinyl polymer is polyisobutyl methacrylate.

24. A process according to claim 3 in which the additive is selected from the group consisting of antimicrobial agents, coating compositions, fungistatic agents, fungicidal agents, abrasives, detergents, antibiotics, antiperspirants, medicaments, silicone oils, mineral oils and vegetable oils.

28. A process according to claim 25 in which the composition is packaged in a 4 to 12 oz aerosol container and the coating material has

a particle size below about 50 microns, to avoid clogging of valves in the aerosol container.

to claim 31 in which the liquid is selected from the group consisting of mineral oil, vegetable oil, silicone oil, propylene glycol, glycerine, water, and aqueous solutions of surfactants.

ACCESSION NUMBER: 82:21579 USPATFULL
TITLE: Process for preparing propellant compositions forming foamed structures containing open and/or closed cells
INVENTOR(S): Osipow, Lloyd I., New York, NY, United States
Spitzer, J. George, Palm Beach, FL, United States
PATENT ASSIGNEE(S): Restech Research Limited Partnership, New York, NY, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4328319		19820504
APPLICATION INFO.:	US 1980-200665		19801027 (6)
DOCUMENT TYPE:	Utility		

L32 ANSWER 20 OF 22 USPATFULL on STN

AB . . . the treated areas. The solution is applied before or after anthralin wash off, preferably in the form of a fine spray or mist.

DETD . . . methylcellulose, hydroxyethyl cellulose and hydroxypropyl cellulose may be employed as well as other water soluble polymeric materials, such as the pharmaceutical grade of polyvinylpyrrolidone sold by GAF under the trademark "Plasdone". As will be appreciated, other film-forming materials known to those. . .

CLM What is claimed is:

. providing a single phase aqueous treating solution comprising at least one organic amine and a non-toxic dermatologically acceptable water soluble film-forming polymer dissolved within a non-toxic dermatologically acceptable carrier, the organic amine being selected from the group consisting of lower alkyl. . .

4. The method of claim 1 wherein the treating solution is applied using a fine spray or mist.

11. The method of claim 1 wherein the water soluble film-forming polymer is selected from the group consisting of water soluble cellulose compounds and polyvinylpyrrolidone.

12. The method of claim 1 wherein the water soluble film-forming polymer is selected from the group consisting of methylcellulose, hydroxyethyl cellulose, hydroxypropyl methylcellulose, hydroxybutyl methylcellulose, hydroxypropyl cellulose and polyvinylpyrrolidone.

15. The method of claim 14 wherein the alcohol is ethanol or isopropanol and the glycol is propylene glycol.

16. The method of claim 1 wherein the single phase aqueous treating solution includes an additive selected from the group consisting of surfactants, antibacterial agents, anti-fungal agents, emollients, skin protectants and fragrances.

ACCESSION NUMBER: 93:80569 USPATFULL
TITLE: Method of reducing anthralin induced inflammation and staining
INVENTOR(S): Kuleza, John E., Berlin, CT, United States
Lawrence Clifford M., Newcastle Upon Tyne, United Kingdom
Shuster, Sam, Newcastle Upon Tyne, United Kingdom
PATENT ASSIGNEE(S): Young Pharmaceuticals Inc., Wethersfield, CT, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5248494		19930928
APPLICATION INFO.:	US 1992-896217		19920610 (7)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Page, Thurman K.		
ASSISTANT EXAMINER:	Harrison, Robert H.		
LEGAL REPRESENTATIVE:	Chilton, Alix & Van Kirk		
NUMBER OF CLAIMS:	16		
EXEMPLARY CLAIM:	1		
LINE COUNT:	557		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L32 ANSWER 19 OF 22 USPATFULL on STN

AB . . . hair styling gels, hair conditioners, hair reparatives, hair tonics, hair fixatives, hair mousses, bath and shower gels, liquid soaps, moisturizing sprays, makeup, pressed powder formulations, lip products, bath additives, sanitizing wipes, hand sanitizers, premoistened towelettes, skin lotions and creams, shaving creams, . . .

SUMM . . . preparations such as lotions, moisturizers, massage oils, creams, hair care products, lipsticks, makeups and nail products. Other potential uses include pharmaceuticals and as extenders for plastics, printers inks, gear-oil additives and lubricants.

CLM What is claimed is:

. . . of humectants, emollients, conditioners, thickeners, moisturizing agents, opacifiers, pearl agents, buffering agents, slip agents, feel agents, anti-static agents, acidifiers, preservatives, film formers, plasticizers, setting agents and suspending agents, the improvement which comprises an amount of hydrolyzed jojoba protein incorporated into the formulation.

. . . hair styling gels, hair conditioners, hair reparatives, hair tonics, hair fixatives, hair mousses, bath and shower gels, liquid soaps, moisturizing sprays, makeup, pressed powder formulations, lip products, bath additives, sanitizing wipes, hand sanitizers, premoistened towelettes, skin lotions and creams, shaving creams, . . .

. . . 2% by weight cationic hair conditioner; (e) said bath and shower gels further comprise at least about 25% by weight surfactant; (f) said skin lotions and creams further comprise at least about 2% by weight of a cream former; (g) said. . .

ACCESSION NUMBER: 2003:29872 USPATFULL
TITLE: Formulations including hydrolyzed jojoba protein
INVENTOR(S): Howard, Mark A., Atchison, KS, UNITED STATES
Maningat, Clodualdo C., Platte City, MO, UNITED STATES
Bassi, Sukh, Atchison, KS, UNITED STATES
Makwana, Dharmen, Platte City, MO, UNITED STATES
Rohde, Soraya A., Tucson, AZ, UNITED STATES
Carson, John, Union City, NJ, UNITED STATES
PATENT ASSIGNEE(S): MIDWEST GRAIN PRODUCTS and DESERT WHALE PROTEIN (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003021814	A1	20030130
	US 6649177	B2	20031118
APPLICATION INFO.:	US 2001-841544	A1	20010423 (9)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	HOVEY WILLIAMS TIMMONS & COLLINS, 2405 GRAND BLVD., SUITE 400, KANSAS CITY, MO, 64108		
NUMBER OF CLAIMS:	11		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	1 Drawing Page(s)		
LINE COUNT:	846		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L32 ANSWER 18 OF 22 USPATFULL on STN

AB Pulmonary formulations containing microparticles and a propellant are provided. The microparticles, preferably microspheres, contain protein and exhibit a fine particle fraction in the range of 25 to.

SUMM The preparation and delivery of therapeutic proteins of interest is an area of concentrated research and development activity in the pharmaceutical industry. It is highly desirable to formulate proteins with select release characteristics in the patient with maximum clinical effectiveness and.

SUMM [0013] "Fine particle fraction" (FPF) refers to the total amount of the drug deposited on the stages in the Andersen cascade impaction studies, within an appropriate particle size range for the drug being tested, divided by the amount total drug delivered from the mouthpiece of the inhaler into the impactor.

SUMM [0029] The use of the foregoing compounds and compositions for the manufacture of a medicament is provided herein.

DETD pulmonary protein formulations suggested in the prior art, especially those that have relied on surfactant and emulsion methods to incorporate drugs. In addition, the microspheres of the invention can be prepared without the need for spray drying or milling processes.

DETD physical separation methods well known to those skilled in the art, and may then be washed or exposed to other drug-containing solutions for binding of additional drugs to the microspheres.

DETD [0117] An organic or inorganic natural or synthetic pharmaceutical compound or drug may be incorporated into the microspheres by binding the drug to a protein, and then forming the microspheres from the protein-drug complex or conjugate. It will be understood by those skilled in the art that a compound incapable of having a . . . the term "protein" includes a plurality of proteins and includes combinations of different proteins such as a combination of a pharmaceutical compound and an affinity molecule for targeting the pharmaceutical compound to a tissue, organ or tumor requiring treatment. It will be further understood that an affinity molecule can be.

DETD [0120] As mentioned above, a small molecule or compound, such as a peptide or pharmaceutical compound, can be formed into a microsphere by incorporation or binding of the compound into a protein which has a.

DETD a cell, and are free to release the proteins of which the microspheres are composed. This is particularly useful for drug delivery, wherein the microspheres contain a drug that is targeted to a specific site requiring treatment, and the drug can be slowly released at that site.

DETD [0152] The microspheres may be administered alone or in combination with other drug therapies as part of a pharmaceutical composition. Such a pharmaceutical composition may include the microspheres in combination with any standard physiologically and/or pharmaceutically acceptable carriers which are known in the art. The compositions may be sterile and contain a therapeutically effective amount of the microsphere in a unit of weight or volume suitable for administration to a patient. The term "pharmaceutically acceptable carrier" as used herein means one or more compatible solid or liquid filler, diluents or encapsulating substances which are suitable. . . . inorganic ingredient, natural or synthetic, with which the active ingredient is combined to facilitate the application. The components of the pharmaceutical compositions also are capable of being co-mingled with the molecules of the present invention, and with each other, in a manner such that there is no interaction which would substantially impair the desired pharmaceutical efficacy. Pharmaceutically acceptable further means a

non-toxic material that is compatible with a biological system such as a cell, cell culture, tissue, or organism. The characteristics of the carrier will depend on the route of administration. Physiologically and pharmaceutically acceptable carriers include diluents, fillers, salts, buffers, stabilizers, desiccants, bulking agents, propellants, acidifying agents, coating agents, solubilizers, and other materials.

well known in the art. Carrier formulations suitable for oral, subcutaneous, intravenous, intramuscular, etc. administrations can be found in Remington's Pharmaceutical Sciences, Mack Publishing Co., Easton, Pa.

DETD [0153] Thus, the invention provides various pharmaceutical compositions of matter and method for producing same. In general, the compositions include a container containing one or more doses.

DETD [0158] The microspheres may be administered alone or in combination with other drug therapies as part of a pharmaceutical composition. Such a pharmaceutical composition may include the microspheres in combination with any standard physiologically and/or pharmaceutically acceptable carriers which are known in the art. The compositions may be sterile and contain a therapeutically effective amount of.

DETD [0159] The pharmaceutical compositions may conveniently be presented in unit dosage form and may be prepared by any of the methods well-known in.

DETD . . . useful as therapeutic agents and may enable the use of alternative routes of administration when the microspheres include a therapeutic drug and are administered to a patient for release or targeted delivery of the drug to the site requiring therapy. The microspheres are also useful as therapeutic or prophylactic agents when the microspheres include a.

DETD [0162] The microspheres are useful for therapy or prophylaxis when the protein is a therapeutic agent or a pharmaceutical compound that is delivered to a patient and released from the microspheres over time. These microspheres may be particularly useful for slow release of drugs with short biological half-lives, such as proteins or peptides. If the pharmaceutical compound cannot be formed into a particle, then it is complexed to a carrier, such as albumin, and the carrier-pharmaceutical compound complex is formed into a microsphere. The microsphere can either provide for the release of the agent throughout the.

DETD . . . enzyme-linked immunosorbant assay, dot-blot, or Western blot, for the detection of a particular target such as a cell, biomolecule or drug in a biological sample. The microspheres designed for this use are composed of affinity molecules specific for the target molecule.

DETD . . . protein is a therapeutic agent that is delivered to a patient. These microspheres are particularly useful for slow release of drugs with short biological half-lives, such as proteins or peptides. If the pharmaceutical compound cannot be formed into a particle, then it is complexed to a carrier, such as albumin, and the carrier-pharmaceutical compound complex is formed into a microsphere. The microsphere can either provide for the slow release of the agent throughout.

DETD . . . fractions are extremely high for even low molecular weight compounds. They have likely not been observed before for any protein drug delivered from a MDI.

DETD [0194] "Fine particle fraction" (FPF) is a term of art that refers to the total amount of the drug deposited on the stages in the Andersen cascade impaction studies, within an appropriate particle size range for the drug being tested, divided by the amount total drug delivered from the mouthpiece of the inhaler into the impactor. The FPF for an MDI and a particle which is.

DETD [0204] Stage Number--F/ σ Drug on the stages.

DETD . . . P227 remained stable homogeneous suspensions for several minutes. This represents an important property for the dispensing of

CLM

reproducible dosages of drugs such as insulin from HFA propellants. Stability of insulin microspheres in HFA P134a as assessed by glucose depression in vivo. . .

What is claimed is:

1. A composition comprising: (a) a plurality of microparticles, said microparticles containing a protein; and (b) a propellant; wherein the composition has a fine particle fraction in the range of 25% to 100%.

6. The composition of claim 1, wherein the propellant is a hydrofluoroalkane propellant.

12. The composition of claim 10, wherein the polymer is selected from the group consisting of carbohydrate-based polymers, polyaliphatic alcohols, poly(vinyl) polymers, polyacrylic acids, polyorganic acids, polyamino acids, polyethers, naturally occurring polymers, polyimids, polyesters, polyaldehydes, co-polymers, block co-polymers, terpolymers, surfactants, branched polymers, cyclo-polymers, and mixtures thereof.

13. The composition of claim 10, wherein the polymer is selected from the group consisting of dextran, polyethylene glycol, polyvinyl pyrrolidone, co-polymers of polyethylene glycol and polyvinyl pyrrolidone, polyvinyl alcohol, co-polymers of polyoxyethylene and polyoxypropylene, and mixtures thereof.

14. The composition of claim 10 wherein the polymer is a co-polymer of polyethylene glycol and polyvinyl pyrrolidone, and a co-polymer of polyoxyethylene and polyoxypropylene.

19. The composition of claim 1, wherein the propellant is HFA P134a.

20. The composition of claim 1, wherein the propellant is HFA P227.

21. The composition of claim 1, wherein the composition does not comprise a surfactant.

31. A composition comprising: a plurality of microparticles, said microparticles containing a protein; and a propellant; wherein the composition does not comprise a surfactant.

38. The composition of claim 31, wherein the propellant is a hydrofluoroalkane propellant.

45. The composition of claim 42, wherein the polymer is selected from the group consisting of dextran, polyethylene glycol, polyvinyl pyrrolidone, co-polymers of polyethylene glycol and polyvinyl pyrrolidone, polyvinyl alcohol, co-polymers of polyoxyethylene and polyoxypropylene, and mixtures thereof.

46. The composition of claim 42 wherein the polymer is a co-polymer of polyethylene glycol and polyvinyl pyrrolidone, and a co-polymer of polyoxyethylene and polyoxypropylene.

51. The composition of claim 31, wherein the propellant is HFA P134a.

52. The composition of claim 31, wherein the propellant is HFA P227.

62. A method for preparing a pulmonary preparation, comprising: selecting a propellant having a known density, ρ_{sub} propellant; selecting a microparticle having a microparticle density $\rho_{\text{sub.microparticle}}$ such that the ratio of $\rho_{\text{sub.microparticle}}$ to ρ_{sub} propellant is in the range of 0.05 to 30; and contacting a plurality of the microparticles with the propellant to form the pulmonary preparation.

63. The method of claim 62, wherein the propellant is a hydrofluoroalkane propellant.

64. The method of claim 63, wherein the propellant is HFA P134a.

65. The method of claim 63, wherein the propellant is HFA P227.

66. The method of claim 62, wherein the ratio $\rho_{\text{sub.microparticle}}$ to ρ_{sub} propellant is in the range of 0.5 to 3.0.

ACCESSION NUMBER: 2003:92676 USPATFULL
TITLE: Propellant-based microparticle formulations
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	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003064033	A1	20030403
APPLICATION INFO.:	US 2002-222200	A1	20020816 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-312894P	20010816 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	John R. Van Amsterdam, Wolf, Greenfield & Sacks, P.C., Federal Reserve Plaza, 600 Atlantic Avenue, Boston, MA, 02210	
NUMBER OF CLAIMS:	71	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	13 Drawing Page(s)	
LINE COUNT:	2006	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		